Table 4

Receptor-Binding Affinities[a] and Antagonist Activities[b] in Human PMNs

	Compound	Receptor Affinity[a] IC_{50} (μM)	Antagonist Potency[b] IC_{50} (μM)	Agonist Activity[c]
SEQ. ID NO:7	MeFKP(dCha)WR	1.8 (15)	0.085 (9)	No
SEQ. ID NO:8	MeFKP(dCha)WR-$CONH_2$	14 (5)	0.5 (3)	No
SEQ. ID NO:9	MeFKP(dCha)WR	11 (5)	0.7 (3)	No
SEQ. ID NO:10	MeFKPLWR	144 (1)	>1000 (3)	nd
SEQ. ID NO:11	Ac-F-[KP(dCha)WR]	3.2 (40	0.090 (5)	No
SEQ. ID NO:12	Ac-F-[OP(dCha)WR]	0.28 (6)	0.012 (4)	No
SEQ. ID NO:4	YSFKPMPLaR	6.0[d]	-	Yes
SEQ. ID NO:1	$C5a_{65-74}$, ISHKDMQLGR	>1000[e]	-	-
	C5a	0.0008 (9)	-	Yes

Number of experiments in parenthesis. Corrected for amino acid content

Square brackets indicate cyclic portion.

nd= not determined

[a] 50% reduction in binding of ^{125}I-C5a to intact human PMNs

[b] 50% reduction in myeloperoxidase secretion from human PMNs mediated by 100 nM C5a

[c] Agonist activity in dose range 0.1 nM-1 nM

[d] Finch *et al*, 1997; [e] Kawai *et al*, 1991

--Table 6

Effect of Cyclisation on Antagonist Binding Affinity and Antagonist Potency

	PEPTIDE	$pD_2 \pm SE^a$	IC_{50} (μM)[a]	(n)	$pD_2 \pm SE^b$	IC_{50} (μM)[b]	(n)
SEQ. ID NO:11	AcF-[KPdChaWR]	5.49 ± 0.22	3.2	4	7.07 ± 0.29	0.09	5
SEQ. ID NO:12	AcF-[OPdChaWR]	6.44 ± 0.14*	0.4	9	7.30 ± 0.09	0.05	9
SEQ. ID NO:19	[FWPdChaWR]	4.37 ± 0.36*	43	3	nd		
SEQ. ID NO:20	AcF-[KMdChaWR]	4.81 ± 0.06	15	2	nd		
SEQ. ID NO:21	AcF-[KKdChaWR]	3.94 ± 0.4	116	3	4.88	13	1

Effect of length of linker in cycle on antagonist binding affinity and antagonist potency

SEQ ID NO:22	AcF-[XPdChaWR]	5.02 ± 0.07	9.5	3	4.71 ± 0.23	20	3
SEQ ID NO:23	AcF-[X^2PdChaWR]	4.77 ± 0.14*	17	3	6.09 ± 0.08*	0.8	4
SEQ ID NO:12	AcF-[OPdChaWR]	4.60 ±0.06*	16	4	6.42 ± 0.10	0.4	4
SEQ ID NO:24	AcKF-[OPdChaWR]	4.96 ± 0.03	11	3	6.73	0.2	1

Table 6 (cont.)

	PEPTIDE	$pD_2 \pm Se^a$	IC_{50} (μM)[a]	(n)	$pD_2 \pm SE^b$	IC_{50} (μM)[b]	(n)
SEQ. ID NO:14	F-[XPdChaWR]	4.39 ± 0.10*	41	3	nd		
SEQ. ID NO:16	F-[X^2PdChaWR]	5.42 ± 0.05	3.8	3	6.70 ± 0.04	0.4	3
SEQ. ID NO:25	F-[OPdChaWR]	5.51 ± 0.07	3.1	3	5.79 ± 0.34*	1.6	3
SEQ. ID NO:26	F-[KPdChaWR]	5.09 ± 0.08	8.1	3	5.55 ± 0.57*	2.8	3
Effect of L-Arg on antagonist binding affinity and antagonist potency							
SEQ. ID NO:17	AcF-[OPdChaWR]	6.57 ± 0.05*	0.3	3	7.91 ± 0.17*	0.01	3
SEQ. ID NO:13	F-[XPdChaWR]	4.98 ± 0.05	10	3	5.63 ± 0.13*	2.4	3
SEQ. ID NO:15	F-[X^2PdChaWR]	6.50 ± 0.04*	0.3	5	7.36 ± 0.13	0.04	3
SEQ. ID NO:27	F-[OPdChaWR]	7.21 ± 0.01*	0.06	3	7.41 ± 0.14	0.04	3
SEQ. ID NO:28	F-[KPdChaWR]	6.50 ± 0.12*	0.3	4	6.69 ± 0.04	0.2	3